

WE CLAIM:

- 1 1. An oral solid composition of nateglinide comprising:
 - 2 a) nateglinide or pharmaceutically acceptable salts thereof; and
 - 3 b) at least one pharmaceutically acceptable surfactant,
- 1 2. The oral solid composition of claim 1, wherein the nateglinide comprises an amount of
2 from about 5% w/w to about 70% w/w of the composition.
- 1 3. The oral solid composition of claim 1, wherein the surfactant comprises one or more
2 of anionic, nonionic, cationic, and mixtures thereof.
- 1 4. The oral solid composition of claim 3, wherein the anionic surfactants comprises one
2 or more of sodium lauryl sulphate, potassium dodecyl sulphonate, sodium dodecyl benzene
3 sulphonate, sodium salt of lauryl polyoxyethylene sulphate, lauryl polyethylene oxide
4 sulfonate, dioctyl ester of sodium sulphosuccinic acid or sodium lauryl sulphonate, and
5 mixtures thereof.
- 1 5. The oral solid composition of claim 4, wherein the surfactant is sodium lauryl
2 sulphate.
- 1 6. The oral solid composition of claim 3, wherein the nonionic surfactants comprises one
2 or more of polysorbate 80, nonyl phenol polyoxyethylene ether, tridecyl alcohol
3 polyoxyethylene ether, dodecyl mercaptan polyoxyethylene thioether, the lauric ester of
4 polyethylene glycol, the lauric ester of sorbitan polyoxyethylene ether or tertiary alkyl amine
5 oxide, and mixtures thereof.
- 1 7. The oral solid composition of claim 6, wherein the surfactant is polysorbate 80.
- 1 8. The oral solid composition of claim 3, wherein the cationic surfactants comprises one
2 or more of distearyl dimethyl ammonium chloride, stearyl dimethyl benzyl ammonium
3 chloride, stearyl trimethyl ammonium chloride, coco dimethyl benzyl ammonium chloride,
4 dicoco dimethyl ammonium chloride, cetyl pyridinium chloride, cetyl trimethyl ammonium
5 bromide, stearyl amine salts that are soluble in water such as stearyl amine acetate and stearyl
6 amine hydrochloride, stearyl dimethyl amine hydrochloride, distearyl amine hydrochloride,

7 alkyl phenoxyethoxyethyl dimethyl ammonium chloride, decyl pyridinium bromide,
8 pyridinium chloride derivative of the acetyl amino ethyl esters of lauric acid, lauryl trimethyl
9 ammonium chloride, decyl amine acetate, lauryl dimethyl ethyl ammonium chloride, the
10 lactic acid and citric acid and other acid salts of stearyl-1-amidoimidazoline with methyl
11 chloride, benzyl chloride, chloroacetic acid and similar compounds, and mixtures thereof.

1 9. The oral solid composition of claim 1, wherein the surfactant comprises an amount of
2 from about 0.5% w/w to about 10% w/w of the composition.

1 10. The oral solid composition of claim 1, wherein the composition further comprises one
2 or more pharmaceutically acceptable excipients comprising fillers, binders, disintegrants,
3 lubricants, glidants, coloring agents, flavoring agents, and coatings.

1 11. The oral solid composition of claim 10, wherein the filler comprises one or more of
2 corn starch, lactose, white sugar, sucrose, sugar compressible, sugar confectioners, glucose,
3 sorbitol, calcium carbonate, calcium phosphate-dibasic, calcium phosphate-tribasic, calcium
4 sulfate, microcrystalline cellulose, silicified microcrystalline cellulose, cellulose powdered,
5 dextrates, dextrans, dextrose, fructose, kaolin, lactitol, mannitol, sorbitol, starch, starch
6 pregelatinized, sucrose, and mixtures thereof.

1 12. The oral solid composition of claim 11, wherein the filler is lactose.

1 13. The oral solid composition of claim 11, wherein the filler is microcrystalline cellulose.

1 14. The oral solid composition of claim 10, wherein the binder comprises one or more of
2 methyl cellulose, hydroxypropyl cellulose, polyvinylpyrrolidone, gelatin, gum arabic, ethyl
3 cellulose, polyvinyl alcohol, pullulan, pregelatinized starch, agar, tragacanth, sodium alginate,
4 propylene glycol, and mixtures thereof.

1 15. The oral solid composition of claim 14, wherein the binder is polyvinylpyrrolidone.

1 16. The oral solid composition of claim 10, wherein the disintegrant comprises one or
2 more of starch, croscarmellose sodium, crospovidone, sodium starch glycolate, and mixtures
3 thereof.

1 17. The oral solid composition of claim 16, wherein the disintegrant is croscarmellose
2 sodium.

1 18. The oral solid composition of claim 10, wherein the lubricant comprises one or more
2 of colloidal anhydrous silica, stearic acid, magnesium stearate, calcium stearate, talc,
3 hydrogenated castor oil, sucrose esters of fatty acids, microcrystalline wax, yellow beeswax,
4 white beeswax, and mixtures thereof.

1 19. The oral solid composition of claim 18, wherein the lubricant is magnesium stearate.

1 20. The oral solid composition of claim 1, further comprising at least one other anti-
2 diabetic compound.

1 21. The oral solid composition of claim 20, wherein the antidiabetic compound comprises
2 glitazones, sulfonyl urea derivatives and metformin, either in free form or in form of a
3 pharmaceutically acceptable salt thereof.

1 22. The oral solid composition of claim 1, wherein the composition comprises one or
2 more of powder, tablets, granules, pellets, spheroids, caplets and capsules.

1 23. The oral solid composition of claim 22, wherein the composition is a tablet.

1 24. The oral solid composition of claim 23, wherein the tablet is coated with film-forming
2 agents.

1 25. The oral solid composition of claim 22, wherein the composition is a capsule.

1 26. An oral solid composition comprising from about 5% w/w to about 70% w/w of
2 nateglinide and from about 0.5% w/w to about 10% w/w of at least one pharmaceutically
3 acceptable surfactant.

1 27. The oral solid composition of claim 26, wherein the surfactant comprises one or more
2 of anionic, nonionic, cationic, and mixtures thereof.

1 28. The oral solid composition of claim 27, wherein the anionic surfactants comprises one
2 or more of sodium lauryl sulphate, potassium dodecyl sulphonate, sodium dodecyl benzene
3 sulphonate, sodium salt of lauryl polyoxyethylene sulphate, lauryl polyethylene oxide

4 sulfonate, dioctyl ester of sodium sulphosuccinic acid or sodium lauryl sulphonate, and
5 mixtures thereof.

1 29. The oral solid composition of claim 27, wherein the nonionic surfactants comprises
2 one or more of polysorbate 80, nonyl phenol polyoxyethylene ether, tridecyl alcohol
3 polyoxyethylene ether, dodecyl mercaptan polyoxyethylene thioether, the lauric ester of
4 polyethylene glycol, the lauric ester of sorbitan polyoxyethylene ether or tertiary alkyl amine
5 oxide, and mixtures thereof.

1 30. The oral solid composition of claim 27, wherein the cationic surfactants comprises one
2 or more of distearyl dimethyl ammonium chloride, stearyl dimethyl benzyl ammonium
3 chloride, stearyl trimethyl ammonium chloride, coco dimethyl benzyl ammonium chloride,
4 dicoco dimethyl ammonium chloride, cetyl pyridinium chloride, cetyl trimethyl ammonium
5 bromide, stearyl amine salts that are soluble in water such as stearyl amine acetate and stearyl
6 amine hydrochloride, stearyl dimethyl amine hydrochloride, distearyl amine hydrochloride,
7 alkyl phenoxyethoxyethyl dimethyl ammonium chloride, decyl pyridinium bromide,
8 pyridinium chloride derivative of the acetyl amino ethyl esters of lauric acid, lauryl trimethyl
9 ammonium chloride, decyl amine acetate, lauryl dimethyl ethyl ammonium chloride, the
10 lactic acid and citric acid and other acid salts of stearyl-1-amidoimidazoline with methyl
11 chloride, benzyl chloride, chloroacetic acid and similar compounds, and mixtures thereof.

1 31. The oral solid composition of claim 26, wherein the composition further comprises
2 one or more pharmaceutically acceptable excipients comprising fillers, binders, disintegrants,
3 lubricants, glidants, coloring agents, flavoring agents, and coatings.

1 32. The oral solid composition of claim 26, further comprising at least one other
2 antidiabetic compound.

1 33. A process for the preparation of a pharmaceutical composition of nateglinide, the
2 process comprising the steps of:

3 a) blending nateglinide or pharmaceutically acceptable salts thereof,
4 surfactant and one or more pharmaceutically acceptable excipients;
5 and;

6 b) processing into a solid dosage form.

1 34. The process of claim 33, wherein the blend of step a) is granulated.

1 35. The process of claim 34, wherein the granulation is carried out by a wet granulation or
2 a dry granulation technique.

1 36. The process of claim 35, wherein the granulation comprises the wet granulation
2 technique.

1 37. The process of claim 36, wherein the wet granulation is carried out using a granulating
2 fluid comprising one or more of methylene chloride, isopropyl alcohol, acetone, methanol,
3 ethanol, water, and mixtures thereof.

1 38. The process of claim 35, wherein the granulation comprises the dry granulation
2 technique.

1 39. The process of claim 38, wherein the dry granulation is carried out by slugging or
2 roller compaction.

1 40. The process of claim 33, wherein the pharmaceutically acceptable excipients comprise
2 one or more of fillers, binders, disintegrants, lubricants, glidants, coloring agents, flavoring
3 agents, and coatings..

1 41. The process of claim 33, further comprising mixing at least one other antidiabetic
2 compound.

1 42. The process of claim 41, wherein the antidiabetic compound comprises one or more of
2 glitazones, sulfonyl urea derivatives and metformin, either in free form or in form of a
3 pharmaceutically acceptable salt.

1 43. The process of claim 33, wherein the dosage form comprises one or more of powder,
2 tablets, granules, pellets, spheroids, caplets and capsules.

1 44. The process of claim 43, wherein the dosage form is a tablet.

1 45. The process of claim 44, wherein the tablet is coated with film-forming agents.

1 46. The process of claim 43, wherein the dosage form is a capsule.

- 1 47. A process for preparation of oral tablets of nateglinide, the process comprising
2 blending nateglinide, surfactant, filler, disintegrant, binder and lubricant; and compressing.
- 1 48. A method for the prevention or treatment of metabolic disorders, type 2 diabetes
2 mellitus, or a disease or condition associated with diabetes mellitus, the method comprising
3 administering to a patient in need thereof a pharmaceutical composition comprising
4 nateglinide or pharmaceutically acceptable salts thereof; and at least one pharmaceutically
5 acceptable surfactant.